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$* * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

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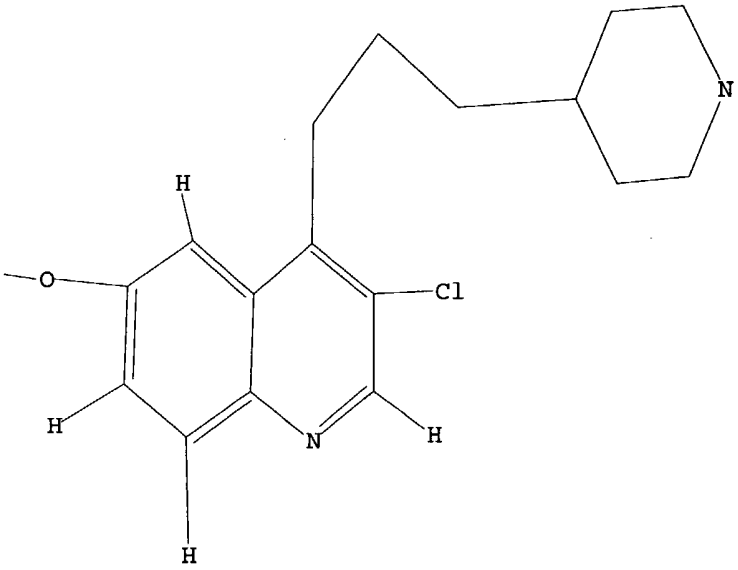


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100.0% PROCESSED     226 ITERATIONS                          105 ANSWERS
SEARCH TIME: 00.00.01

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=> file ca


=> s l3
L4            2 L3

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L4 ANSWER 1 OF 2 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:253457 CA
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 96 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844268	A1	20040312	FR 2002-11213	20020911
WO 2004024713	A1	20040325	WO 2003-FR2687	20030910
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RO, SC, SG, SY, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082610	A1	20040429	US 2003-659095	20030910
PRIORITY APPLN. INFO.:			FR 2002-11213 A	20020911

OTHER SOURCE(S): MARPAT 140:253457
GI

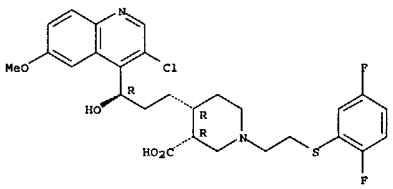
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New 4-[3-(Quinol-4-yl)propyl]piperidine deriva. I are disclosed [wherein R1a = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R1b = H, or R1aR1b = oxo; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3 -7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2 (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including various isomers, enantiomeric and diastereoisomeric forms, mixts. and salts thereof). The novel derivs. are particularly interesting as antimicrobial agents. Two synthetic examples are given. For example, II was prepd. by alkylation of III.bul.HCl (prepn. given) with 2-(bromoethylsulfanyl)thiophene, followed by basic hydrolysis. In vivo, compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c.

IT **669092-73-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bactericide; prepn. of quinolylpropyl piperidines as antimicrobial agents)

RN 669092-73-3 CA
CN 3-Piperidinecarboxylic acid, 4-[(3R)-3-(3-chloro-6-methoxy-4-quinolinyl)-3-hydroxypropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT **669092-73-3P 669092-86-8P 669092-87-9P 669092-88-0P 669092-89-1P 669092-94-8P**, 4-[3-Hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-carboxylic acid **669092-95-9P**, 4-[3-Hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bactericide; prepn. of quinolylpropyl piperidines as antimicrobial agents)

IT **669092-96-0P**
RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(intermediate; prepn. of quinolylpropyl piperidines as antimicrobial agents)

IT **669092-75-5P 669092-76-6P 669092-77-7P 669092-78-8P**
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of quinolylpropyl piperidines as antimicrobial agents)

IT **669092-79-9P 669092-80-2P 669092-81-3P**, (3RS,4RS)-4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(tert-butyloxycarbonyl)piperidine-3-carboxylic acid **669092-82-4P**, Methyl (3RS,4RS)-4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(tert-butyloxycarbonyl)piperidine-3-carboxylate **669092-90-4P 669092-91-5P 669092-92-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of quinolylpropyl piperidines as antimicrobial agents)

IT **669092-93-7P**
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. of quinolylpropyl piperidines as antimicrobial agents)

IT **669092-74-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolylpropyl piperidines as antimicrobial agents)

IT **669092-97-1P**
RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(prepn. of quinolylpropyl piperidines as antimicrobial agents)

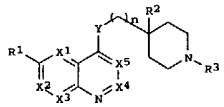
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:386033 CA
TITLE: Heterocyclylalkyl piperidine derivatives, particularly 4-[3-(quinolin-4-yl)propyl]piperidine-4-carboxylic acids, their preparation and compositions containing same, for use as antibacterials.
INVENTOR(S): Bacque, Eric; Carry, Jean-Christophe; El-Ahmad, Youssef; Evers, Michel; Hubert, Philippe; Malleron, Jean-Luc; Mignani, Serge; Pantel, Guy; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 362 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040474	A2	20020523	WO 2001-FR3559	20011114
WO 2002040474	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2816618	A1	20020517	FR 2000-14738	20001115
FR 2816618	B1	20021227		
AU 2002018365	A5	20020527	AU 2002-18365	20011114
US 2002111492	A1	20020815	US 2001-987386	20011114
US 6603005	B2	20030805		
EE 200300207	A	20030815	EE 2003-207	20011114
EP 1337529	A2	20030827	EP 2001-996538	20011114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015312	A	20030923	BR 2001-15312	20011114
JP 2004514661	T2	20040520	JP 2002-543484	20011114
NO 2003002187	A	20030626	NO 2003-2187	20030514
US 2004147518	A1	20040729	US 2003-607220	20030627
PRIORITY APPLN. INFO.:			FR 2000-14738 A	20001115
			US 2000-255145P P	20001214
			US 2001-987386 A3	20011114
			WO 2001-FR3559 W	20011114

OTHER SOURCE(S): MARPAT 136:386033
GI

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)



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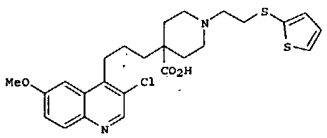


II

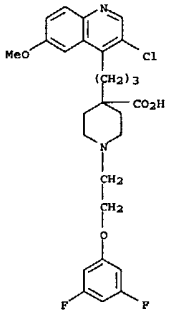
AB The invention concerns heterocyclylalkyl piperidine derivs. I, including their enantiomeric or diastereoisomeric forms, or mixts. thereof, and/or their syn or anti forms, or mixts. thereof, and their salts [wherein X1, X2, X3, X4, and X5 = C(R'1), C(R'2), C(R'3), C(R'4), C(R'5), or one of X-groups (at most) = N; R1, R'1, R'2, R'3, R'4, R'5 = H, halo, alkyl, cycloalkyl, Ph, PhS, OH, heterocyclyl, cyano, CO2H, alkoxycarbonyl, (un)substituted NH2, etc.; R2 = CO2H, alkyloxycarbonyl, cycloalkyloxycarbonyl, cyano, CONRaRb, CH2OH, substituted alkyl, CF2-Rc, C(CH3)2-Rc, CORc, CH(OH)-Rc, C(cycloalkyl)-Rc, or CH:CH-Rc; Ra, Rb = H, alkyl, cycloalkyl, Ph, heterocyclyl; or NRaRb = (un)substituted 5- or 6-membered heterocycle; Rc = CO2H, alkoxycarbonyl, cycloalkoxycarbonyl, CONRaRb; R3 = Ph, heterocyclyl, various substituted alkyls; Y = CH(Re), CF2, C(:NOH), alkyloxyiminomethylene, cycloalkyloxyiminomethylene, or C3-6 cycloalkylidene; Re = H, F, OH, alkoxy, cycloalkoxy, CO2H, alkoxycarbonyl, NRaRb, CONRaRb; and n = 0-4; wherein the radicals or Ph or heterocyclyl portions mentioned above can optionally be substituted]. Approx. 60 compds. were prepd., 5 were specifically claimed, and many more names were listed. For instance, Pd-complex-catalyzed coupling of 4-allyl-4-Cbz-1-BOC-piperidine with 4-bromo-3-chloro-6-methoxyquinoline (prepns. of both compds. given), followed by removal of the BOC group with CF3CO2H, N-alkylation with 2-[(2-bromoethyl)thio]thiophene, and hydrolysis of the benzyl ester (Cbz) in aq. HCl, gave title compd. II as the di-HCl salt. I are active against both gram-pos. and gram-neg. bacteria. I were active against exptl. infection of mice with Staphylococcus aureus IP8203 at 18-150 mg/kg s.c., or 20-150 mg/kg orally. None of the compds. showed

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)
toxicity in mice at 100 mg/kg s.c. (2 administrations).

IT **426841-95-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)

RN 426841-95-4 CA

CN 4-Piperidinecarboxylic acid, 4-[3-(3-chloro-6-methoxy-4-quinolinyl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]- (9CI) (CA INDEX NAME)



IT **426841-95-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)

IT **426841-94-3P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-4-carboxylic acid dihydrochloride **426841-96-5P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(2-cyclohexylethyl)piperidine-4-carboxylic acid **426841-97-6P**,

4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-carboxylic acid dihydrochloride **426841-98-7P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(pyridin-2-yl)thio]ethyl]piperidine-4-carboxylic acid trihydrochloride

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)
426841-99-8P, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-heptylpiperidine-4-carboxylic acid sodium salt **426842-00-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(cyclopentylthio)ethyl]piperidine-4-carboxylic acid dihydrochloride **426842-01-5P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]-4-piperidineacetic acid **426842-02-6P**, [4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(cyclopentylthio)ethyl]piperidin-4-yl]methanol **426842-03-7P**,

[4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidin-4-yl]methanol **426842-09-3P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,3,5-trifluorophenoxy)ethyl]piperidine-4-carboxylic acid dihydrochloride **426842-12-8P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-4-carboxylic acid **426842-14-0P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,6-difluorophenoxy)ethyl]piperidine-4-carboxylic acid dihydrochloride **426842-16-2P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid dihydrochloride **426842-18-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,3-difluorophenoxy)ethyl]piperidine-4-carboxylic acid dihydrochloride **426842-20-8P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thiazol-2-yl)thio]ethyl]piperidine-4-carboxylic acid **426842-22-0P**, [4-[3-(R,S)-Hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(cyclopentylthio)ethyl]piperidin-4-yl]methanol dihydrochloride **426842-25-3P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-(3-phenylpropyl)piperidine-4-carboxylic acid **426842-26-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(3,5-difluorophenyl)amino]ethyl]piperidine-4-carboxylic acid **426842-27-5P**, [4-[3-(R,S)-Hydroxy-3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-3-yl)thio]ethyl]piperidin-4-yl]methanol **426842-28-6P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-carboxamide **426842-30-0P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-4-carboxylic acid monohydrochloride **426842-31-1P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid **426842-32-2P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxamide **426842-33-3P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(cinnamyl)piperidine-4-carboxylic acid sodium salt **426842-34-4P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]-4-piperidineacetic acid **426842-35-5P**, [4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidin-4-yl]acetic acid **426842-52-6P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(pyridin-2-yloxy)ethyl]piperidine-4-carboxylic acid **426842-53-7P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)-3-(R,S)-

fluoropropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-4-carboxylic acid **426842-54-8P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidine-4-carboxylic acid **426842-55-9P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(thiazol-2-yloxy)ethyl]piperidine-4-carboxylic acid **426842-60-6P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-hydroxamic acid **426842-65-1P**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-4-carboxylic acid
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)
activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)

IT **426842-66-2P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-4-carboxylate **426842-67-3P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidine-4-carboxylate **426842-68-4P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(tert-butyloxycarbonyl)piperidine-4-carboxylate **426842-73-1P**, Benzyl 4-[3-(3-chloro-6-

methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylate **426842-74-2P**, Benzyl 4-[3-(3-chloro-6-

methoxyquinolin-4-yl)propyl]-1-(2-cyclohexylethyl)piperidine-4-carboxylate **426842-75-3P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-carboxylate **426842-76-4P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(pyridin-2-yl)thio]ethyl]piperidine-4-carboxylate **426842-77-5P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidine-4-carboxylate hydrochloride **426842-78-6P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(tert-butyloxycarbonyl)piperidine-4-carboxylate **426842-79-7P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-heptylpiperidine-4-carboxylate **426842-80-0P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(cyclopentylthio)ethyl]piperidine-4-carboxylate **426842-88-8P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,3,5-trifluorophenoxy)ethyl]piperidine-4-carboxylate **426842-89-9P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidine-4-carboxylate hydrochloride **426842-91-3P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-4-carboxylate **426842-95-7P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,6-difluorophenoxy)ethyl]piperidine-4-carboxylate **426842-97-9P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidine-4-carboxylate **426842-99-1P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,3-difluorophenoxy)ethyl]piperidine-4-carboxylate **426843-01-8P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thiazol-2-yl)thio]ethyl]piperidine-4-carboxylate **426843-02-9P**, Ethyl

4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(2-chloroethyl)piperidine-4-carboxylate **426843-03-0P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(2-hydroxyethyl)piperidine-4-carboxylate **426843-04-1P**, [4-[3-(3-Chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]piperidin-4-yl]methanol dihydrochloride **426843-05-2P**, tert-Butyl 4-(tert-butyldimethylsilanyloxymethyl)-4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]piperidine-1-carboxylate **426843-06-3P**, tert-Butyl 4-(tert-butyldimethylsilanyloxymethyl)-4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidine-1-carboxylate **426843-07-4P**, tert-Butyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-4-(hydroxymethyl)piperidine-1-carboxylate **426843-08-5P**, Methyl

4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-(3-phenylpropyl)piperidine-4-carboxylate **426843-09-6P**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]-1-(3-phenylpropyl)piperidine-4-carboxylate **426843-10-9P**, Benzyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(3,5-difluorophenyl)amino]ethyl]piperidine-4-carboxylate **426843-17-6P**

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)

, Methyl
4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylate **426843-18-7P**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidine-4-carboxylate **426843-20-1P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-(cinnamyl)piperidine-4-carboxylate **426843-21-2P**, Methyl [4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(3,5-difluorophenoxy)ethyl]piperidin-4-yl]acetate **426843-22-3P**, Methyl [4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidin-4-yl]acetate **426843-23-4P**, tert-Butyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-4-(cyanomethyl)piperidine-1-carboxylate **426843-24-5P**, tert-Butyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-4-[(methanesulfonyloxy)methyl]piperidine-1-carboxylate **426843-25-6P**, Methyl [4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidin-4-yl]acetate **426843-46-1P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(pyridin-2-yloxy)ethyl]piperidine-4-carboxylate **426843-47-2P*****, **Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-4-carboxylate ***426843-48-3P**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-4-carboxylate **426843-49-4P**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-fluoropropyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidine-4-carboxylate **426843-50-7P**, Methyl
4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]-1-[2-(2,5-difluorophenoxy)ethyl]piperidine-4-carboxylate **426843-51-8P**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]piperidine-4-carboxylate **426843-52-9P**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)-3-(R,S)-hydroxypropyl]-1-(tert-butyloxycarbonyl)piperidine-4-carboxylate **426843-53-0P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]-1-[2-(thiazol-2-yloxy)ethyl]piperidine-4-carboxylate **426843-59-6P**,

4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-carboxylic acid tert-butoxyamide **426843-60-9P**, Ethyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidine-4-carboxylate **426843-63-2P**, [4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]piperidin-4-yl]acetic acid dihydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)

IT **426843-62-1**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-carboxylic acid sodium salt **426843-64-3**, Methyl 4-[3-(3-chloro-6-methoxyquinolin-4-yl)propyl]piperidine-4-carboxylate dihydrochloride **426843-66-5**, 4-[3-(3-Chloro-6-methoxyquinolin-4-yl)propyl]-1-(3-phenylpropyl)piperidine-4-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; prepn. of quinolinylpropylpiperidinecarboxylic acids as antibacterials.)

L4 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)

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L5            10 SEA SSS FUL L1

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=> d ibib abs fqhit 1-10
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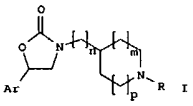
L5 ANSWER 1 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:357326 MARPAT
TITLE: Preparation of oxazolidin-2-ones as antiasthmatics
INVENTOR(S): Jin, Jian; Kerns, Jeffrey K.; Wang, Feng; Wang, Yonghui
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004032856	A2	20040422	WO 2003-US31795	20031007

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-416818P 20021007
GI

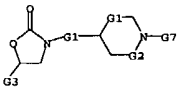


I

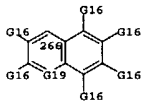
AB The title compds. [I; n, m = 0-1; p = 1-3; Ar = (un)substituted quinolinyl, [1,5]naphthyridinyl, pyridinyl; R = alkyl, cycloalkylalkyl, phenylalkyl, etc.] which are useful for inhibiting the chemokine receptor nominated CCR8 (no data given), resulting in treatment of diseases such as asthma and the like, were prepd. E.g., a 4-step synthesis of 5-(6-methoxyquinolin-4-yl)-3-[1-(naphthalen-2-ylmethyl)piperidin-4-yl]oxazolidin-2-one, starting from 6-methoxy-4-oxiranylquinoline and tert-Bu 4-aminopiperidine-1-carboxylate, was given. The pharmaceutical compn. comprising the compd. I is claimed.

MSTR 1

L5 ANSWER 1 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G7 = alkyl<(1-6)> (SR G8)
G8 = pyridyl (SO (1-) G18) / 266



G16 = alkoxy<(1-6)> / Cl
G19 = N
MPL: claim 1
NTE: or pharmaceutically acceptable salts

L5 ANSWER 2 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:253457 MARPAT
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 96 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844268	A1	20040312	FR 2002-11213	20020911
WO 2004024713	A1	20040325	WO 2003-FR2687	20030910

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RO, SC, SG, SY, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004082610	A1	20040429	US 2003-659095	20030910

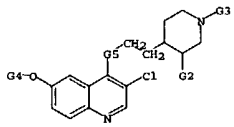
PRIORITY APPLN. INFO.: FR 2002-11213 20020911
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New 4-[3-(Quinol-4-yl)propyl]piperidine deriva. I are disclosed [wherein R1a = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R1b = H, or R1aR1b = oxo; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3 -7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2 (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including various isomers, enantiomeric and diastereoisomeric forms, mixts. and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Two synthetic examples are given. For example,

L5 ANSWER 2 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
II was prepd. by alkylation of III.bul.HCl (prepn. given) with 2-(bromoethylsulfanyl)thiophene, followed by basic hydrolysis. In vivo, compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c.

MSTR 1



G4 = 70

G5 = 83

MPL: claim 1
NTE: and salts
STE: isomers, enantiomers, and diastereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 138:153541 MARPAT
TITLE: Preparation of N-(1,5-naphthyridin-4-yl)piperidine-4-carboxamide derivatives as antibacterial agents
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010138	A2	20030206	WO 2002-EP8319	20020725
WO 2003010138	A3	20031204		

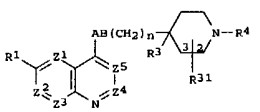
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1419155	A2	20040519	EP 2002-764786	20020725

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.:	GB 2001-18238	20010726
	WO 2002-EP8319	20020725

GI



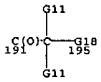
I

AB The title piperidine derivs. [I; one of Z1-Z5 is N, one is CR1a and the remainder are CH, or one or two of Z1-Z5 are independently CR1 a and the remainder are CH; R1, R1a = H, HO, C1-6 alkoxy optionally substituted by (un)substituted C1-6 alkoxy, amino, piperidyl, guanidino or amidino, C1-6 alkoxy-C1-6 alkyl, halo, C1-6 alkyl, C1-6 alkylthio, CF3, CF3O, etc.; R3 = CO2H, C1-6 alkoxycarbonyl, (un)substituted CONH2, cyano, tetrazolyl, (un)substituted 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dione-4-yl, 2,4-thiazolidinedione-5-yl, tetrazol-5-ylaminocarbonyl, (un)substituted 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl, (un)substituted C1-4 alkyl or ethenyl, halogen, C1-6 alkylthio, CF3, C1-6 alkoxycarbonyl, C1-6 alkylcarbonyl, C2-6 alkenyloxycarbonyl, C2-6 alkenylcarbonyl, (un)substituted OH or NH2, etc.; R31 is in the 2- or 3-position and is hydrogen or a group listed above for R3, provided that R31 in the 2-position is not optionally substituted hydroxy, amino, trifluoromethyl or halogen; R4 = CH2R51, U-V-R52 (wherein R51 = C4-8 alkyl, hydroxy-C4-8 alkyl, C1-4 alkoxy-C4-8 alkyl, etc.; U = CO, SO2, CH2 and V = (un)substituted CH2; or U = CH2 and V = CO, (un)substituted C(:NOH), SO2; R52 = (un)substituted bicyclic carbocyclic or heterocyclic ring); n = 0,1; AB = (un)substituted NHCO, CONH, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2 SO2, CH2CH2] and pharmaceutically acceptable derivs. thereof are prepd. These compds. are useful in methods of treatment of bacterial infections in mammals, particularly man. Thus, 0.10 g 4-(6-methoxy-[1,5]naphthyridin-4-ylcarbamoyl)-4-methylpiperidine and 0.095 g 2-(3-Oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl methanesulfonate were stirred with 138 mg K2CO3 in 2 mL DMF at room temp. for 3 days to give 4-methyl-1-[2-(3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl]piperidine-4-carboxylic acid (6-methoxy-[1,5]naphthyridin-4-yl)amide (II). II oxalate showed min. inhibitory concn. of .ltoreq.4 .mu.g/mL against Staphylococcus aureus Oxford, S. aureus WCUH29, S. pneumoniae 1629, S. pneumoniae N1387, S. pneumoniae ERY 2, Enterococcus faecalis I, E. faecalis 7, Haemophilus influenzae Q1, H. influenzae NEMC1, Moraxella catarrhalis 1502, and Escherichia coli 7623.

L5 ANSWER 3 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G9 = 110-5 107-71 110-244 109-6

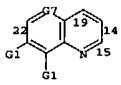
G17 = 191-2 195-4



G18 = (0-1) CH2
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation also claimed
NTE: also incorporates claim 13
NTE: and precursors
NTE: or pharmaceutically acceptable derivatives

L5 ANSWER 3 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G1 = alkoxy<(1-6)> (SO) / Cl
G6 = 22-1 19-3 14-66 15-67



G7 = 84

L5 ANSWER 4 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 138:14011 MARPAT
TITLE: Preparation of bicyclic nitrogen-containing heterocyclic derivatives for use as antibacterials
INVENTOR(S): Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Madler, Guy Marguerite Marie Gerard; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096907	A1	20021205	WO 2002-EP5709	20020524

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

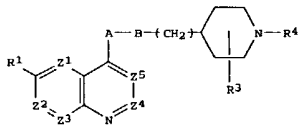
EP 1392686	A1	20040303	EP 2002-774022	20020524

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:	GB 2001-12836	20010525
	WO 2002-EP5709	20020524

GI

L5 ANSWER 4 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



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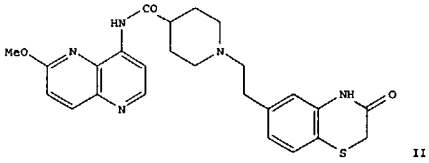


II

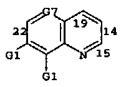
AB Piperidine derivs. and pharmaceutically acceptable derivs. [I; wherein one of Z1, Z2, Z3, Z4, Z5 = N, one is CR2 (wherein R2 = H, OH, (C1-C6)alkoxy, etc.) and the remainder are CH, or one of Z1, Z2, Z3, Z4, Z5 = CR2 and the remainder are CH; R3 = H, carboxy, (C1-C6)alkoxycarbonyl, aminocarbonyl, cyano, tetrazolyl, etc.; R4 = U-V-R5, wherein U-V = (CH2)2, CH2CH(OH), CH2CO, and R5 is a (substituted) bicyclic carbocyclic or heterocyclic ring system] were prepd. For example, II was prepd. by a multistep synthetic procedure. The prepd. compds. are useful in the treatment of bacterial infections in mammals, particularly man. For example, compd. II had MIC values .ltoreq.4 .mu.g/mL against S. aureus Oxford.

MSTR 1

G1 = alkoxy<(1-6)> (SO) / Cl

L5 ANSWER 4 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

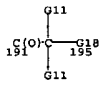
G6 = 22-1 19-3 14-66 15-67



G7 = 84

G9 = 110-5 107-71 109-6

G17 = 191-2 195-4



G18 = (0-1) CH2
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation also claimed
NTE: also incorporates claim 13
NTE: and precursors
NTE: or pharmaceutically acceptable derivatives

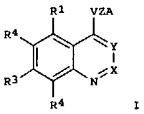
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 10 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 133:222605 MARPAT
TITLE: Preparation of 4-substituted quinolines as plant fungicides.
INVENTOR(S): Daeuble, John; Davis, L. Navell; Hellwig, Karin; Kirby, Neil; Parker, Marshall H.; Pieczko, Mary; Thomason, Lori K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6117884	A	20000912	US 1997-904282	19970731
PRIORITY APPLN. INFO.:			US 1997-904282	19970731

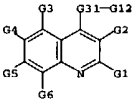
GI



I

AB Title compds. [I; X = CR5; Y = CR51; Z = O, S, SO, SO2, NR6, CR7R8; R1-R4 = H, OH, NO2, halo, iodo, alkyl, alkoxy, haloalkyl, etc.; V = CR7R8; A = (unsatd.) (substituted) (heteroatom-interrupted) hydrocarbyl, cycloalkyl, Ph, furyl, pyridyl, pyrimidinyl, naphthyl, pyrazolyl, etc.; R5 = H, Cl, Me; R51 = H, Cl, Br; R6 = H, alkyl, acyl; R7, R8 = H, alkyl, alkenyl, acyl, cyano, OH; R7R8C = carbocyclyl], were prepd. Thus, 4-bromomethyl-8-chloroquinoline was stirred overnight with NaH and 4-fluorophenol in THF to give 51.2% 4-[(4-fluorophenoxy)methyl]-8-chloroquinoline. Several I at 6.25-400 ppm gave 50-100% control of Erysiphe graminis on wheat seedlings.

MSTR 2



G2 = Cl
G4 = alkoxy<(1-4)> (SO (1-) G18)
G21 = CH2CH2
G22 = pyridyl (SO)

L5 ANSWER 5 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)

G31 = CH2 (SO)
DER: or acid addition salts or N-oxides
MPL: disclosure

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 132:293679 MARPAT
TITLE: Preparation of naphthyridines and their azaisosteric analogues as antibacterials
INVENTOR(S): Hatton, Ian Keith; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021948	A1	20000420	WO 1999-GB3366	19991011
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961146	A1	20000501	AU 1999-61146	19991011
EP 1127057	A1	20010829	EP 1999-947781	19991011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527431	T2	20020827	JP 2000-575854	19991011
US 2003212084	A1	20031113	US 2001-32403	20011220
PRIORITY APPLN. INFO.:			GB 1998-22450	19981014
			WO 1999-GB3366	19991011
			US 2000-807275	20000508

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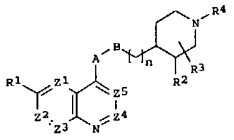
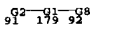


I

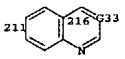
AB The title compds. [I; one of Z1-Z5 = N and the remainder are CH; R1 = H, OH, alkoxy, etc.; either R2 = H, and R3 is in the 2- or 3-position and is H, alkyl, alkenyl, etc.; or R3 is in the 3-position and R2 and R3 together

L5 ANSWER 6 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
are a divalent :CR6R7 (wherein R6 and R7 = H, alkyl, alkenyl, etc.); R4 = CH2R5 (R5 = alkyl, hydroxyalkyl, alkoxyalkyl, etc.); n = 0-2; A, B = NR8, O, SOx, etc.; x = 0-2; R8 = H, CF3, alkyl, etc.] and their pharmaceutically acceptable derivs., useful in the treatment of bacterial infections in mammals, particularly in man, were prepd. E.g., a multi-step synthesis of (3R,4S)-I [Z1-Z4 = CH; Z5 = N; R1 = OMe; A = N(Me); B = CH2; n = 1; R2 = CH:CH2; R3 = H; R4 = n-heptyl] which showed MIC of 0.5 .mu.g/mL against S. aureus Oxford, M. catarrhalis Ravasio and S. pneumoniae, was given.

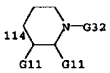
MSTR 1



G1 = 211-91 216-92



G2 = alkoxy<(1-6)> (SO G3) / Cl
G9 = Ak<EC (2-) C, BD (0-) D (0) T> (SO (1-) G37)
G10 = 114



G33 = 11

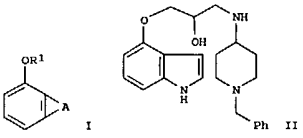
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: also incorporates claim 8, structure IV

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 126:74755 MARPAT
TITLE: Preparation and formulation of 4-(3-amino-2-hydroxypropoxy)indoles and analogs as 5-HT1A receptor ligands
INVENTOR(S): Krushinski, Joseph H., Jr.; Rasmussen, Kurt; Rocco, Vincent P.; Schaus, John M.; Thompson, Dennis C.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 383,823,abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5576321	A	19961119	US 1995-468900	19950606
CA 2210220	AA	19960725	CA 1996-2210220	19960111
WO 9622290	A1	19960725	WO 1996-US41	19960111
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, US				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9646516	A1	19960807	AU 1996-46516	19960111
AU 718875	B2	20000420		
BR 9607077	A	19971118	BR 1996-7077	19960111
CN 1178530	A	19980408	CN 1996-192598	19960111
JP 10512861	T2	19981208	JP 1996-522282	19960111
EP 722941	A2	19960724	EP 1996-300286	19960115
EP 722941	A3	20000412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
NO 9703281	A	19970908	NO 1997-3281	19970715
FI 9703024	A	19970716	FI 1997-3024	19970716
PRIORITY APPLN. INFO.:			US 1995-373823	19950117
			US 1995-468900	19950606
			WO 1996-US41	19960111

GI

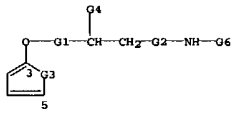


I II

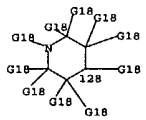
AB Title compds. [I; A = atoms to complete an N-contg. heterocyclic ring; R1 = (CH2)rCHR2CH2(CH2)sR; R = alkylamino, azinylamino, N-attached heterocyclyl, etc.; R2 = H, OH, OMe, Ph; r = 0-4; s = 0-1] were prepd. as

L5 ANSWER 7 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
5-HT1A receptor ligands (no data). Thus, (S)-4-oxiranylmethoxy-1H-indole was aminated by 4-amino-1-benzylpiperidine to give title compd. (S)-II.

MSTR 1



G6 = alkyl<(1-4)> (SR G17)
G11 = alkoxy<(1-3)> / Cl
G17 = 128 / quinolinyl (SO (1-4) G11)

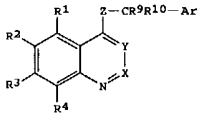


DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L5 ANSWER 8 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 121:35356 MARPAT
TITLE: Quinoline derivatives useful as fungicides, insecticides, and miticides
INVENTOR(S): Coghlan, Michael J.; Dreikorn, Barry A.; Jourdan, Glen
P.; Suhr, Robert G.
PATENT ASSIGNEE(S): DowElanco, USA
SOURCE: U.S., 19 pp. Cont.-in-part of U.S. Ser. No. 150,103, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5296484	A	19940322	US 1989-325734	19890320
AU 8928748	A1	19890803	AU 1989-28748	19890124
AU 626280	B2	19920730		
ZA 8900624	A	19891227	ZA 1989-624	19890126
DK 8900364	A	19890730	DK 1989-364	19890127
FI 8900422	A	19890730	FI 1989-422	19890127
CN 1034924	A	19890823	CN 1989-100470	19890127
BR 8900355	A	19890919	BR 1989-355	19890127
JP 01246264	A2	19891002	JP 1989-19401	19890127
HU 49789	A2	19891128	HU 1989-424	19890127
HU 206950	B	19930301		
PRIORITY APPLN. INFO.:			US 1988-150103	19880129

GI



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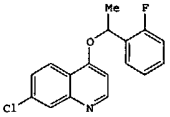
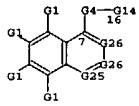


II

AB Title compds. I [R1-R4 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy, NO2, NH2 (at least 2 of which = H); 1 of X and Y = CR5; other = N, CR5; R5 = H, Me, Cl; Z = O, NR6, S, SO, SO2, CR7R8; R6 = H, alkyl, acyl; R7, R8 = H, alkyl, acyl; or R7R8 form (un)satd. carbocycle; R9, R10 = H, alkyl, substituted Ph, cycloalkyl, OH, halo, Ac; or R9R10 form (un)satd. carbocycle; or 1 or both or R7 and R8 can form multiple bonds with 1 or both of R9 and R10; Ar = (un)substituted cycloalkyl, Ph, naphthyl, certain heterocyclyl; with provisos] are useful as plant fungicides, insecticides, and miticides. Approx. 100 compds. were prepd. and tested. For example,

L5 ANSWER 8 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
etherification of 2-FC6H4CHMeOH with 4,7-dichloroquinoline using NaH in DMF at 160.degree. gave title compd. II. In tests against 8 phytopathogens, II gave 90-100% control of 3 species (e.g., Puccinia recondita tritici) at 100 ppm, and of 2 more at 400 ppm. A few I also showed insecticidal and/or acaricidal activity against, e.g, Spodoptera eridania or Tetranychus urticae.

MSTR 1A



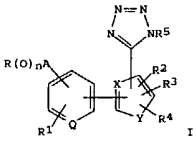
G1 = alkoxy<(1-4)> (SO (1-) G2)
G3 = Cl
G4 = alkylene<(2-)> (SO G12)
G14 = pyridyl (SO (1-) G15)
G25 = N
G26 = 18

DER: or acid addition salts or N-oxides
MPL: claim 1
NTE: also incorporates disclosure

L5 ANSWER 9 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 120:107024 MARPAT
TITLE: Preparation of heterocyclic derivatives as angiotensin II antagonists
INVENTOR(S): Oku, Teruo; Setoi, Hiroyuki; Kayakiri, Hiroshi; Satoh, Shigeki; Inoue, Takayuki; Sawada, Yuki; Kuroda, Akio; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316071	A1	19930819	WO 1993-JP133	19930203
W: CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 07508502	T2	19950921	JP 1993-513943	19930203
PRIORITY APPLN. INFO.:			GB 1992-2633	19920207
			WO 1993-JP133	19930203

GI



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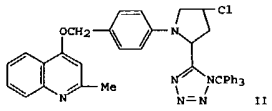
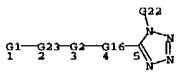


II

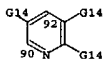
AB Title compds. I (R = quinolyl or naphthyridinyl which may have substituents; R1 = H, halo, O2N, alkyl, alkoxy, (acyl)amino; R2-R4 = H, halo, O2N, NC, alkyl, alkenyl, alkylthio, mono-trihaloalkyl, oxoalkyl, hydroxyalkyl, (esterified) carboxy; R2R3 = 1,3-butadienylene; R5 = H, imino-protective group; A = alkylene; Q, X = HC, N; Y = HN, O, S; n = 0, 1) or a salt thereof, useful as angiotensin II antagonists (no data), are prepd. NaH was added to 4-hydroxy-2-methylquinoline in DMF followed by 1-(4-bromomethylphenyl)-4-chloropyrrole-2-carbonitrile to give 4-[4-(4-chloro-2-cyano-1-pyrrolyl)benzyloxy]-2-methylquinoline which was treated with Me3SnN3 to give the title compd. II.

MSTR 1A

L5 ANSWER 9 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G1 = quinolinyl (SO (1-) G25)
G2 = 92-2 90-4

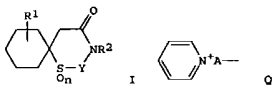


G23 = alkylene<(1-6)>
G25 = Cl / alkoxy<(1-6)>
GGA = 134 <EC (1-6) C, BD (ALL) SE>
DER: and pharmaceutically acceptable salts
MPL: claim 1

L5 ANSWER 10 OF 10 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 119:86037 MARPAT
TITLE: Hepatitis or pancreatitis inhibitors containing 11-Oxo-7-thia-10-azaspiro[5,6]dodecane derivatives
INVENTOR(S): Nakahara, Kunio
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05078250	A2	19930330	JP 1991-313002	19910918

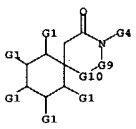
PRIORITY APPLN. INFO.: JP 1991-313002 19910918
GI



I Q

AB Hepatitis or pancreatitis inhibitors contain the title derivs. I [R1 = (un)substituted aryl-lower alkyl; R2 = H, (un)substituted lower alkyl, Q; A = lower alkylene; X = halo; Y = CH2CH2, 1,2-C6H4; n = 0, 1, 2] or their pharmaceutically acceptable salts as active ingredients. (1S,6S)-1-phenylmethyl-10-(3-pyridylmethyl)-11-oxo-7-thia-10-azaspiro[5,6]dodecane 7,7-dioxide (II) at 32 mg/kg p.o., administered to rats 3 h before and after i.p. injection of D-galactosamine, lowered the serum GOP and GPT values from 8030 and 5132 IU/L to 4568 and 2593 IU/mL, resp. in controls. A tablet (90 mg) contg. II 46, Ca CM-cellulose 3, hydroxypropyl cellulose 1, Mg stearate 2.5 mg, and cryst. cellulose balance was prepd.

MSTR 1



G4 = loweralkyl (SO (1-) G5)

L5 ANSWER 10 OF 10 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
G5 = pyridyl (SO (1-) G6) / quinolinyl (SO (1-) G6)
G6 = X / loweralkoxy
DER: or pharmaceutically acceptable salts
MPL: claim 1

```
=> d his

     (FILE 'HOME' ENTERED AT 15:44:51 ON 18 AUG 2004)

     FILE 'REGISTRY' ENTERED AT 15:44:57 ON 18 AUG 2004
L1                STRUCTURE UPLOADED
L2              1 S L1 SAM
L3            105 S L1 FULL

     FILE 'CA' ENTERED AT 15:45:22 ON 18 AUG 2004
L4              2 S L3

     FILE 'MARPAT' ENTERED AT 15:45:56 ON 18 AUG 2004
L5             10 S L1 FULL

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:46:51 ON 18 AUG 2004
```